application.

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This listing of claims will replace all prior versions, and listings, of claims in the

PATENT

Listing of Claims

1. (previously presented) A compound of formula

$$Q = \begin{bmatrix} R^1 \\ A^2 \\ A^3 \end{bmatrix} \qquad (I)$$

an N-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof

wherein
$$-a^1=a^2-a^3=a^4$$
 represents a bivalent radical of formula -CH=CH-CH=CH- (a-1);

wherein each hydrogen atom in the radical (a-1) may optionally be replaced by halo, $C_{1\text{-}6}$ alkyl, nitro, amino, hydroxy, $C_{1\text{-}6}$ alkyloxy, polyhalo $C_{1\text{-}6}$ alkyl, carboxyl, amino $C_{1\text{-}6}$ alkyl, mono- or di($C_{1\text{-}4}$ alkyl)amino $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkyloxycarbonyl, hydroxy $C_{1\text{-}6}$ alkyl, or a radical of formula

wherein =Z is =O, =CH-C(=O)-NR^{5a}R^{5b}, =CH₂, =CH-C₁₋₆alkyl, =N-OH or =N-O-C₁₋₆alkyl;

Q is a radical of formula

$$R^{2} \xrightarrow{N-Alk-X^{1}} R^{2} \xrightarrow{N-C(=O)-Alk-X^{1}} R^{2} \xrightarrow{N-C(O)-Alk-X^{1}} R^{2} \xrightarrow{N-C(O)-Alk-X^{1}} R^{2} \xrightarrow{N-C(O)-Alk-X^{1}} R^{2} \xrightarrow{N-C(O)-Alk-X^{1}} R^$$

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wherein

Alk is C₁₋₆alkanediyl;

Y¹ is a bivalent radical of formula –NR²- or –CH(NR²R⁴)-;

 X^1 is NR⁴, S, S(=O), S(=O)₂, O, CH₂, C(=O), C(=CH₂), CH(OH), CH(CH₃), CH(OCH₃), CH(SCH₃), CH(NR^{5a}R^{5b}), CH₂-NR⁴ or NR⁴-CH₂;

 X^2 is a direct bond, CH_2 , C(=O), NR^4 , C_{14} alkylene- NR^4 , or NR^4 - C_{14} alkylene;

t is 2, 3, 4 or 5;

u is 1, 2, 3, 4 or 5;

v is 2 or 3; and

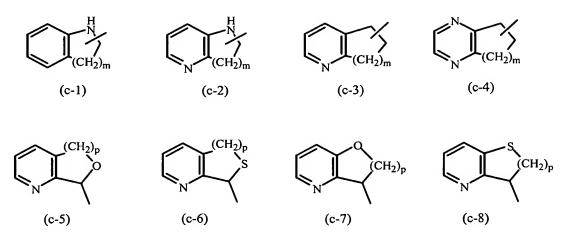
whereby each hydrogen atom in Alk and the carbocycles and the heterocycles defined in radicals (b-3), (b-4), (b-5), (b-6), (b-7) and (b-8) may optionally be replaced by R^3 ; with the proviso that when R^3 is hydroxy or C_{1-6} alkyloxy, then R^3 can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C_{1-10} alkanediyl optionally substituted with one, two or three substituents selected from hydroxy, C_{1-6} alkyloxy, aryl C_{1-6} alkyloxy, C_{1-6} alkylthio, aryl C_{1-6} alkylthio, arylcarbonyl, HO(-CH₂-CH₂-O)_n-, C_{1-6} alkyloxy(-CH₂-CH₂-O)_n-, aryl C_{1-6} alkyloxy(-CH₂-CH₂-O)_n-, amino, mono-or di(C_{1-6} alkyl)amino, C_{1-6} alkyloxycarbonylamino and aryl;

R¹ is a bicyclic heterocycle selected from quinolinyl, quinoxalinyl, benzofuranyl, benzothienyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, pyridopyridyl, naphthyridinyl, 1*H*-imidazo[4,5-b]pyridinyl, 3*H*-imidazo[4,5-b]pyridinyl, imidazo[1,2-a]pyridinyl, 2,3-dihydro-1,4-dioxino[2,3-b]pyridyl or a radical of formula

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and said bicyclic heterocycles may optionally be substituted in either of the two cycles with 1 or where possible more substituents selected from halo, hydroxy, amino, cyano, carboxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, C₁₋₆alkyloxyC₁₋₆alkyl, arylC₁₋₆alkyl, arylC₁₋₆alkyloxy, hydroxyC₁₋₆alkyl, mono-or di(C₁₋₆alkyl)amino, mono-or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, polyhaloC₁₋₆alkyl, C₁₋₆alkylcarbonylamino, C₁₋₆alkyl-SO₂-NR^{5c}-, aryl-SO₂-NR^{5c}-, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{5c}R^{5d}, HO(-CH₂-CH₂-O)_n-, halo(-CH₂-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, arylC₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, arylC₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, and mono-or di(C₁₋₆alkyl)amino(-CH₂-CH₂-O)_n-;

each n independently is 1, 2, 3 or 4;

each m independently is 1 or 2;

each p independently is 1 or 2;

each R^2 independently is hydrogen, formyl, C_{1-6} alkylcarbonyl, Hetcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C_{3-7} cycloalkyl substituted with $N(R^6)_2$, or C_{1-10} alkyl substituted with $N(R^6)_2$ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C_{3-7} cycloalkyl, C_{2-5} alkanediyl (wherein said C_{2-5} alkanediyl is substituted on one carbon atom of said C_{1-10} alkyl substituted with $N(R^6)_2$ to form a spiro moiety), piperidinyl, mono-or di(C_{1-6} alkyl)amino, C_{1-6} alkyloxycarbonylamino, aryl and aryloxy;

 R^3 is hydrogen, hydroxy, C_{1-6} alkyl, C_{1-6} alkyloxy, aryl C_{1-6} alkyloxy;

R⁴ is hydrogen, C₁₋₆alkyl or arylC₁₋₆alkyl;

R^{5a}, R^{5b}, R^{5c} and R^{5d} each independently are hydrogen or C₁₋₆alkyl; or

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 R^{5a} and R^{5b} , or R^{5c} and R^{5d} taken together form a bivalent radical of formula -(CH₂)_s- wherein s is 4 or 5;

 R^6 is hydrogen, C_{1-4} alkyl, formyl, hydroxy C_{1-6} alkyl, C_{1-6} alkylcarbonyl or C_{1-6} alkyloxycarbonyl;

aryl is phenyl or phenyl substituted with 1 or more substituents selected from halo, hydroxy, C_{1-6} alkyl, hydroxy C_{1-6} alkyl, polyhalo C_{1-6} alkyl, and C_{1-6} alkyloxy; and Het is pyridyl, pyrimidinyl, pyrazinyl, or pyridazinyl.

2. (cancelled)

- 3. (previously presented) A compound according to claim 1, wherein Q is a radical of formula (b-5) wherein v is 2 and Y¹ is -NR²-.
- 4. (previously presented) A compound according to claim 1, wherein R^2 is C_{1-10} alkyl substituted with NHR⁶.
- 5. (previously presented) A compound according to claim 1, wherein G is a direct bond or C₁₋₁₀alkanediyl optionally substituted with one, two or three substituents selected from the group consisting of hydroxy, C₁₋₆alkyloxy, arylC₁₋₆alkyloxy, HO(-CH₂-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, and arylC₁₋₆alkyloxy(-CH₂-CH₂-O)_n-.
- 6. (previously presented) A compound wherein the compound is
 - (±)-*N*-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[1-(8-quinolinyl)ethyl]-*1H*-benzimidazol-2-amine monohydrate;
 - (±)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-bromo-5,6,7,8-tetrahydro-8-quinolinyl)-*1H*-benzimidazol-2-amine trihydrochloride trihydrate;
 - (\pm) -N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl-IH-benzimidazol-2-amine;
 - (±)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-*1H*-benzimidazol-2-amine trihydrochloride trihydrate;

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- (\pm)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1-methyl-*1H*-benzimidazol-4-yl)methyl]-*1H*-benzimidazol-2-amine;
- (\pm)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(ethoxy-8-quinolinylmethyl)-IH-benzimidazol-2-amine;
- (\pm)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)-*1H*-benzimidazol-2-amine;
- N-[1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-(8-quinolinylmethyl)-IH-benzimidazol-2-amine;
- *N*-[1-(8-quinolinylmethyl)-*1H*-benzimidazol-2-yl]-1,3-propanediamine trihydrochloride monohydrate;
- (±)-*N*-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-*1H*-benzimidazol-2-amine trihydrochloride dihydrate;
- $(\pm)-N-[1-[1-(aminomethyl)-2-methylpropyl]-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-1H-benzimidazol-2-amine;$
- (±)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(1-isoquinolinylmethyl)-*1H*-benzimidazol-2-amine trihydrochloride trihydrate;
- *N*-[1-(2-aminoethyl)-4-piperidinyl]-1-(5,6,7,8-tetrahydro-5-quinoxalinyl)-*1H*-benzimidazol-2-amine trihydrochloride trihydrate;
- (\pm)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-(8-quinolinylmethyl)-*1H*-benzimidazol-2-amine;
- (\pm)-N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-1H-benzimidazol-2-amine trihydrochloride trihydrate;
- (±)-*N*-[1-(2-aminoethyl)-4-piperidinyl]-1-(5,6,7,8-tetrahydro-2,3-dimethyl-5-quinoxalinyl)-*1H*-benzimidazol-2-amine trihydrochloride trihydrate;
- (\pm) -N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-1H-benzimidazol-2-amine;
- (\pm) -N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-IH-benzimidazol-2-amine trihydrochloride monohydrate;
- (±)-*N*-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-*1H*-benzimidazol-2-amine trihydrochloride dihydrate;

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(\pm)-N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-ethoxyethoxy)-8-quinolinylmethyl]-4-methyl-IH-benzimidazol-2-amine monohydrate;

 (\pm) -N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(1-methyl-IH-benzimidazol-4-yl)methyl]-IH-benzimidazol-2-amine;

(±)-*N*-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-chloro-5,6,7,8-tetrahydro-5-quinoxalinyl)-4-methyl-*1H*-benzimidazol-2-amine;

((1-isoquinolin-1-ylmethyl)-1H-benzoimidazol-2-yl)-piperidin-4-yl-amine;

(1-(4-(1-isoquinolin-1-ylmethyl-1H-benzoimidazol-2-ylamino)-piperidin-1-ylmethyl)-2-methyl-propyl)-carbamic acid tert-butyl ester; or

an N-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof.

- 7. (previously presented) A method of treating a respiratory syncytial viral infection, comprising the step of administering a therapeutically effective amount of a compound as claimed in any one of claims 1 and 3 to 6.
- 8. (previously presented) A pharmaceutical composition, comprising a pharmaceutically acceptable carrier, and as active ingredient a therapeutically effective amount of a compound as claimed in any one of claims 1 and 3 to 6.
- 9. (previously presented) A process of preparing a composition as claimed in claim 8, comprising the step of intimately mixing said carrier with said compound.
- 10. (original) An intermediate of formula

$$P - Q_1 - N - A_1 - A_2 - A_3$$

$$(IV)$$

with R^1 , G and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, P being a protective group, and Q_1 being defined as Q according to claim 1 but being devoided of the R^2 or R^6 substituent.

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11. (original) An intermediate of formula

with R^1 , G and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and $(O=)Q_3$ being a carbonyl derivative of Q, said Q being defined according to claim 1, provided that it is devoided of the NR^2R^4 or NR^2 substituent.

12. (original) An intermediate of formula

$$Q \xrightarrow{N} a^{1} a^{2}$$

$$Q \xrightarrow{N} a^{4} a^{3}$$

$$Q \xrightarrow{N} A^{2} A^{3}$$

$$Q \xrightarrow{N} A^{3}$$

$$Q \xrightarrow{N} A^{4}$$

$$Q$$

with R^1 , Q and $-a^1=a^2-a^3=a^4$ defined as in claim 1, and $(O=)G_2$ being a carbonyl derivative of G, said G being defined according to claim 1.

- 13. (previously presented) A process of preparing a compound as claimed in claim 1, comprising at least one step selected from the group consisting of:
 - a) reacting an intermediate of formula (II-a) or (II-b) with an intermediate of formula (III)

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with R^1 , G, Q and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and W_1 being a suitable leaving group, in the presence of a suitable base and in a suitable reaction-inert solvent;

b) deprotecting an intermediate of formula (IV)

$$P = Q_1 = \begin{bmatrix} R^1 \\ N \\ a^4 \end{bmatrix} = \begin{bmatrix} R^1 \\ N \\ A \\ A \end{bmatrix} = \begin{bmatrix} R^1 \\ N \\ A \end{bmatrix} = \begin{bmatrix} R^1 \\ N \\ A \end{bmatrix} = \begin{bmatrix} R^1 \\ N \\ A \end{bmatrix}$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, H-Q₁ being defined as Q according to claim 1 provided that R^2 or at least one R^6 substituent is hydrogen, and P being a protective group;

c) deprotecting and reducing an intermediate of formula (IV-a)

$$P \longrightarrow Q_{1a}(CH=CH) \longrightarrow N \longrightarrow a^{1} \stackrel{a^{2}}{\underset{a^{4}}{\longrightarrow} a^{3}} \longrightarrow H \longrightarrow Q_{1} \longrightarrow N \longrightarrow a^{1} \stackrel{a^{2}}{\underset{a^{4}}{\longrightarrow} a^{3}}$$

$$(IV-a) \qquad (I-a)$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, H-Q₁ being defined as Q according to claim 1 provided that R^2 or at least one R^6 substituent is

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hydrogen, $Q_{1a}(CH=CH)$ being defined as Q_1 provided that Q_1 comprises an unsaturated bond, and P being a protective group;

d) deprotecting an intermediate of formula (V)

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and H_2N-Q_2 being defined as Q according to claim 1 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen;

e) deprotecting an intermediate of formula (VI)

$$P = Q_{2} = \begin{bmatrix} R^{1} & & & & \\ & & &$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 1, and H_2N-Q_2 being defined as Q according to claim 1 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen, and P being a protective group;

f) deprotecting an intermediate of formula (VII) or (VIII)

$$P = Q_{1'}(OP) = \begin{pmatrix} R^1 \\ N \\ a^4 \end{pmatrix} = \begin{pmatrix} R^1 \\ A^2 \\ A^3 \end{pmatrix}$$

$$(VII) \qquad (I-a-2)$$

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$$P = N - Q_{2'}(OP) - N - Q_{2'}(OH) - N - Q_{2'}(OH) - N - Q_{2'}(OH) - N - Q_{2'}(OH) - Q_{2'$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 1, H-Q₁·(OH) being defined as Q according to claim 1 provided that R^2 or at least one R^6 substituent is hydrogen and provided that Q comprises a hydroxy moiety, H₂N-Q₂·(OH) being defined as Q according to claim 1 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen and provided that Q comprises a hydroxy moiety, and P being a protective group;

g) amination of an intermediate of formula (IX)

$$(O=)Q_{3} \xrightarrow{N} \overset{a_{1}^{1}}{\overset{a_{2}^{2}}{\overset{a_{3}^{2}}{\overset{a_{1}^{2}}{\overset{a_{1}^{2}}{\overset{a_{1}^{2}}{\overset{a_{2}^{2}}{\overset{a_{1}^{2}}{\overset{a_{1}^{2}}{\overset{a_{2}^{2}}{\overset{a_{1}^{2}}}{\overset{a_{1}^{2}}{\overset{a_{1}^{2}}}}}}}}}}}}}}}}}}}}}}}}$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and H_2N-Q_3H being defined as Q according to claim 1 provided that both R^6 substituents are hydrogen or R^2 and R^4 are both hydrogen, and the carbon adjacent to the nitrogen carrying the R^6 , or R^2 and R^4 substituents contains at least one hydrogen, in the presence of a suitable amination reagent;

h) reducing an intermediate of formula (X)

NC-Q₄

$$R^1$$
 R^1
 R^1
 R^1
 R^1
 R^1
 R^1
 R^1
 R^1
 R^2
 R^1
 R^2
 $R^$

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with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and $H_2N-CH_2-Q_4$ being defined as Q according to claim 1 provided that Q comprises a $-CH_2-NH_2$ moiety, in the presence of a suitable reducing agent;

i) reducing an intermediate of formula (X-a)

with G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, $H_2N-CH_2-Q_4$ being defined as Q according to claim 1 provided that Q comprises a $-CH_2-NH_2$ moiety, and $R^{1'}$ being defined as R^{1} according to claim 1 provided that it comprises at least one substituent, in the presence of a suitable reducing agent and suitable solvent;

j) amination of an intermediate of formula (XI)

$$CH_{2}-Q_{4}$$

$$CH_{2}-Q_{4}$$

$$CH_{2}-Q_{4}$$

$$CH_{2}-CHOH-CH_{2}-CHOH-CH_{2}-Q_{4}$$

$$(I-a-1-3-2)$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and H_2N -CH₂-CHOH-CH₂-Q₄, being defined as Q according to claim 1 provided that Q comprises a CH₂-CHOH-CH₂-NH₂ moiety, in the presence of a suitable amination reagent;

k) reacting an intermediate of formula (XII) with formic acid, formamide and ammonia

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$$C_{1-4}\text{alkyl} - C_{1-4}\text{alkyl} - C_{1-4}\text{a$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and H-C(=O)-Q₁ being defined as Q according to claim 1 provided that R^2 or at least one R^6 substituent is formyl;

l) amination of an intermediate of formula (XIII) by reaction with an intermediate of formula (XIV)

$$(O=)Q_{5} \xrightarrow{R^{1}} A^{2} A^{2} A^{3} + R^{2a} - NH_{2}$$
 amination
$$R^{2a} - NH - HQ_{5} \times N$$
 (XIV)
$$(I-c)$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and R^{2a} -NH-HQ₅ being defined as Q according to claim 1 provided that R^2 is other than hydrogen and is represented by R^{2a} , R^4 is hydrogen, and the carbon atom adjacent to the nitrogen atom carrying the R^2 and R^4 substituents, carries also at least one hydrogen atom, in the presence of a suitable reducing agent;

m) reducing an intermediate of formula (XV)

$$(R^{6})_{2}N-(C_{1}-\text{galkyl})-NH-HQ_{5}-N$$

$$C(=O)OC_{1}-\text{galkyl}$$

$$(XV)$$

$$R^{1}$$

$$G$$

$$R^{1}$$

$$G$$

$$R^{1}$$

$$G$$

$$R^{1}$$

$$G$$

$$R^{6})_{2}N-(C_{1}-\text{galkyl})-NH-HQ_{5}$$

$$CH_{2}OH$$

$$(I-c-1)$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ defined as in claim 1, and $(R^6)_2N$ -[$(C_{1-9}alkyl)CH_2OH$]-NH-HQ₅ being defined as Q according to claim 1 provided that R^2 is other than hydrogen and is represented by $C_{1-10}alkyl$ substituted with $N(R_6)_2$ and with hydroxy, and the carbon atom carrying the hydroxy, carries also two hydrogen atoms, and provided that R^4 is hydrogen,

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and the carbon atom adjacent to the nitrogen atom carrying the R² and R⁴ substituents, carries also at least one hydrogen atom, with a suitable reducing agent;

n) deprotecting an intermediate of formula (XVI), (XVI-a) or (XVI-b)

with G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and H-Q₁ being defined as Q according to claim 1 provided that R² or at least one R⁶ substituent is hydrogen, and R^{1a}-(A-O-H)_w, R^{1a'}-(A-O-H)₂ and R^{1a''}-(A-O-H)₃ being defined as R¹ according to claim 1 provided that R¹ is substituted with hydroxy, hydroxyC₁₋₆alkyl, or HO(-CH₂-CH₂-O)_n-, with w being an integer from 1 to 4 and P or P₁ being a suitable protecting group, with a suitable acid-:

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o) amination of an intermediate of formula (XVII)

$$C_{1^{-4}alkyl} \longrightarrow C_{-Alk} \longrightarrow R^{2}R^{4}N \longrightarrow$$

with R^1 , G, $-a^1=a^2-a^3=a^4$ -, Alk, X^1 R^2 and R^4 defined as in claim 1, in the presence of a suitable amination agent;

p) amination of an intermediate of formula (XIX)

$$H = C + C_{1-3} \text{ alkyl} + NR^4 +$$

with R^1 , G, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and $Q_6N-CH_2-C_{1-3}$ alkyl- NR^4 being defined as Q according to claim 1 provided that in the definition of Q, X^2 is C_{2-4} alkyl- NR^4 , in the presence of a suitable amination agent;

q) deprotecting an intermediate of formula (XXI)

with R^1 , Q, and $-a^1=a^2-a^3=a^4$ - defined as in claim 1, and HO- G_1 being defined as G according to claim 1 provided that G is substituted with hydroxy or HO- $(CH_2CH_2O_2)_n$; and

r) reducing an intermediate of formula (XXII)

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$$Q = \bigcap_{M = 1}^{R^1} \bigcap_{A = 1$$

with R^1 , Q, and $-a^1=a^2-a^3=a^4$ defined as in claim 1, and H-G₂-OH being defined as G according to claim 1 provided that G is substituted with hydroxy and the carbon atom carrying the hydroxy substituent carries also at least one hydrogen, in the presence of a suitable reducing agent.

14. (cancelled)

15. (cancelled)

- 16. (currently amended) The process of claim 13, further comprising the step of converting compound of formula (I) (I²), stereochemically isomeric forms, metal complexes, quaternary amines or N-oxide forms thereof, into a therapeutically active non-toxic acid addition salt by treatment with an acid.
- 17. (currently amended) The process of claim 13, further comprising the step of converting compound of formula (I) (P), stereochemically isomeric forms, metal complexes, quaternary amines or N-oxide forms thereof, into a therapeutically active non-toxic base addition salt by treatment with alkali.
- 18. (currently amended) The process of claim 13, further comprising the step of converting the acid addition salt form of compound of formula (I) (1²), stereochemically isomeric forms, metal complexes, quaternary amines or N-oxide forms thereof, into the free base by treatment with alkali.

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19. (currently amended) The process of claim 13, further comprising the step of converting the base addition salt form of compound of formula (I) (1²), stereochemically isomeric forms, metal complexes, quaternary amines or N-oxide forms thereof, into the free acid by treatment with acid.

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